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Description

Cosmetic or pharmaceutical preparations having a reduced feeling of stickiness, comprising glycerol esters of α-hydroxycarboxylic acids and

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saturated fatty acids

The present invention relates to cosmetic or pharmaceutical preparations having a reduced feeling of stickiness, to processes for their preparation, and to the use of active ingredients for reducing the feeling of stickiness of cosmetic preparations.

The main aim of skincare in the cosmetic sense is to strengthen or rebuild the skin's natural function as a barrier against environmental influences (e.g. dirt, chemicals, microorganisms) and against the loss of endogenous substances (e.g. water, natural fats, electrolytes).

If this function becomes impaired, increased resorption of toxic or allergenic substances or infection by microorganisms may result, leading to toxic or allergic skin reactions.

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Another aim of skincare is to compensate for the loss by the skin of sebum and water caused by daily washing. This is particularly important if the natural regeneration ability is inadequate. Furthermore, skincare products should protect against environmental influences, in particular against sun and wind, and delay skin ageing.

Medicinal compositions usually comprise one or more medicaments in an effective concentration. For the sake of simplicity, in order to distinguish clearly between cosmetic and medicinal use and corresponding products, reference is

made to the legal provisions in the Federal Republic of Germany (e.g. Cosmetics Directive, Foods and Drugs Act).

Cosmetic or dermatological preparations are frequently in the form of finely disperse multiphase systems in which one or more fatty or oily phases are present alongside one or more aqueous phases. Of these systems, the actual emulsions are, in turn, the most widespread.

Preparations for cosmetic or therapeutic skincare, in particular, comprise, as essential constituents, mixtures of oils or oil-soluble substances and water or water-soluble substances. Certain constituents of the aqueous phase, e.g. glycerol, but also of the oily phase, e.g. tocopherylacetate, if present in relatively high concentrations, impair the sensory properties of the preparations. This often manifests itself in an increased feeling of stickiness or feeling of greasiness when the corresponding preparations are used, and these then may, in individual cases, be unmarketable since they are not accepted or perceived negatively by the consumer.

Although it is known to reduce this feeling of stickiness or feeling of greasiness by adding certain substances, for example a number of selected powder raw materials, in particular talc, apart from the fact that this is only rarely completely successful, such an addition also changes the viscosity of the product in question and reduces the stability.

The object was therefore to remedy all of these disadvantages of the prior art. In particular, the aim was to provide products having reduced stickiness or greasiness. Products in the field of care cosmetics, decorative cosmetics and pharmacological technology were likewise to be freed from the disadvantages of the prior art which have been described.

It was also an object of the invention to develop cosmetic bases for cosmetic preparations which are well tolerated by the skin.

Another object of the present invention was to provide products having as broad an application diversity as possible. For example, the aim was to provide bases for preparation forms such as cleansing emulsions, face care and bodycare preparations, but also to provide distinctly medicinal-pharmaceutical

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administration forms, for example preparations against acne and other skin conditions.

Surprisingly, all of these objects are achieved by cosmetic or pharmaceutical preparations characterized by the following features:

They comprise

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- (I) one or more partially neutralized esters of monoglycerides and/or diglycerides of saturated fatty acids with citric acid,
- (II) one or more fatty alcohols chosen from the group of branched and unbranched alkyl alcohols having 12 to 40 carbon atoms
 - (III) they are O/W emulsions.

The invention further relates to the use of combinations of

(I) one or more partially neutralized esters of monoglycerides and/or diglycerides of saturated fatty acids with citric acid,

and

(II) one or more fatty alcohols chosen from the group of branched and unbranched alkyl alcohols having 12 to 40 carbon atoms,

for the preparation of nonsticky O/W emulsions or to the use of such combinations for reducing the stickiness of O/W emulsions.

A particularly advantageous citric ester is glycerol stearate citrate. Such citric esters are obtainable, for example, under the product name "IMWITOR® 370" from Hüls AG.

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The total amount of one or more partially neutralized esters of monoglycerides and/or diglycerides of saturated fatty acids with citric acid in the finished cosmetic or dermatological preparations is advantageously chosen from the range 0.1-10.0% by weight, preferably 0.5-6.0% by weight, based on the total weight of the preparations.

A preferred fatty alcohol used according to the invention is cetylstearyl alcohol (a mixture of 1-hexadecanol and 1-octadecanol in approximately equal parts).

The total amount of one or more fatty alcohols used according to the invention in the finished cosmetic or dermatological preparations is advantageously chosen

from the range 0.1-10.0% by weight, preferably 0.5-6.0% by weight, based on the total weight of the preparations.

According to the invention, it is advantageous to choose weight ratios of glycerol esters of α -hydroxycarboxylic acids and saturated fatty acids on the one hand and fatty alcohols on the other hand of from 7:3 to 3:7, preferably from 2:1 to 1:2, particularly preferably about 1:1.

According to the invention, it is possible and advantageous to freely choose the proportion of the oily phase of the preparations according to the invention in the range from 5 to 40% by weight, based on the total weight of the preparations.

The basic constituents of the preparations according to the invention which may be used are:

- 15 water or aqueous solutions
 - oils, such as triglycerides of capric or of caprylic acid, but preferably castor
 oil;
 - fats, waxes and other natural and synthetic fatty substances, preferably esters of fatty acids with alcohols of low carbon number, e.g. with isopropanol, propylene glycol or glycerol, or esters of fatty alcohols with alkanoic acids of low carbon number or with fatty acids;
 - alcohols, diols or polyols of low carbon number, and ethers thereof, preferably ethanol, isopropanol, proylene glycol, glycerol, ethylene glycol, ethylene glycol monoethyl or monobutyl ether, propylene glycol monomethyl, monoethyl or monobutyl ether, diethylene glycol monomethyl or monoethyl ether and analogous products.

In particular, mixtures of the solvents given above are used. In the case of alcoholic solvents, water may be a further constituent.

For the purposes of the present invention, the oily phase is advantageously chosen from the group of esters of saturated and/or unsaturated, branched and/or unbranched alkanecarboxylic acids of chain length from 3 to 30 carbon atoms and saturated and/or unsaturated, branched and/or unbranched alcohols of chain length from 3 to 30 carbon atoms, from the group of esters of aromatic carboxylic acids and saturated and/or unsaturated, branched and/or unbranched alcohols of chain length from 3 to 30 carbon atoms. Such ester oils can then advantageously

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be chosen from the group consisting of isopropyl myristate, isopropyl palmitate, isopropyl stearate, isopropyl oleate, n-butyl stearate, n-hexyl laurate, n-decyl oleate, isooctyl stearate, isononyl stearate, isononyl isononanoate, 2-ethylhexyl palmitate, 2-ethylhexyl laurate, 2-hexyldecyl stearate, 2-octyldodecyl palmitate, oleyl oleate, oleyl erucate, erucyl oleate, erucyl erucate, and synthetic, semisynthetic and natural mixtures of such esters, e.g. jojoba oil.

In addition, the oily phase can be advantageously chosen from the group of branched and unbranched hydrocarbons and hydrocarbon waxes, silicone oils, dialkyl ethers, the group of saturated or unsaturated, branched or unbranched alcohols, and fatty acid triglycerides, namely the triglycerol esters of saturated and/or unsaturated, branched and/or unbranched alkanecarboxylic acids of chain length from 8 to 24, in particular 12-18 carbon atoms. The fatty acid triglycerides can, for example, be advantageously chosen from the group of synthetic, semisynthetic and natural oils, e.g. olive oil, sunflower oil, soya oil, peanut oil, rapeseed oil, almond oil, palm oil, coconut oil, palm kernel oil and the like.

For the purposes of the present invention any mixtures of such oil and wax components can also advantageously be used. In some instances, it may also be advantageous to use waxes, for example cetyl palmitate, as the sole lipid component of the oily phase.

The oily phase is advantageously chosen from the group consisting of 2-ethylhexyl isostearate, octyldodecanol, isotridecyl isononanoate, isoeicosane, 2-ethylhexyl cocoate, C₁₂₋₁₅-alkyl benzoate, caprylic/capric triglyceride, dicaprylyl ether.

Particularly advantageous mixtures are those comprising C_{12-15} -alkylbenzoate and 2-ethylhexyl isostearate, those comprising C_{12-15} -alkyl benzoate and isotridecyl isononanoate, and mixtures of C_{12-15} -alkyl benzoate, 2-ethylhexyl isostearate and isotridecyl isononanoate.

Of the hydrocarbons, paraffin oil, squalane and squalene are to be used advantageously for the purposes of the present invention.

The oily phase can advantageously additionally have a content of cyclic or linear silicone oils, or consist entirely of such oils, although it is preferred to use an

additional content of other oily phase components apart from the silicone oil or the silicone oils.

Cyclomethicone (octamethylcyclotetrasiloxane) is advantageously used as the silicone oil to be used according to the invention. However, other silicone oils are also advantageous for the purposes of the present invention, for example hexamethylcyclotrisiloxane, polydimethylsiloxane, poly(methylphenylsiloxane).

Particularly advantageous are also mixtures of cyclomethicone and isotridecyl isononanoate, and of cyclomethicone and 2-ethylhexylisostearate.

For the purposes of the present invention, emulsions according to the invention, e.g. in the form of a skin protection cream, a skin lotion, a cosmetic milk, for example in the form of a sunscreen cream or a sunscreen milk, are advantageous and comprise, for example, fats, oils, waxes and/or other fatty substances, and water and one or more emulsifiers, as are customarily used for such a type of formulation.

The person skilled in the art is of course aware that demanding cosmetic compositions are in most cases inconceivable without the customary auxiliaries and additives. These include, for example, bodying agents, fillers, perfume, dyes, emulsifiers, additional active ingredients such as vitamins or proteins, light protection agents, stabilizers, insect repellents, alcohol, water, salts, antimicrobial, proteolytic or keratolytic substances etc.

Corresponding requirements apply mutatis mutandis to the formulation of medicinal preparations.

For the purposes of the present invention, medicinal topical compositions usually comprise one or more medicaments in an effective concentration. For the sake of simplicity, in order to distinguish clearly between cosmetic and medicinal use and corresponding products, reference is made to the legal provisions in the Federal Republic of Germany (e.g. Cosmetics Directive, Foods and Drugs Act).

In this connection, it is likewise advantageous to add the combinations, used according to the invention, of glycerol esters of α -hydroxycarboxylic acids and saturated fatty acids on the one hand and fatty alcohols on the other hand as

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additive to preparations which already comprise other active ingredients for other purposes.

Accordingly, for the purposes of the present invention, cosmetic or topical dermatological compositions can, depending on their composition, be used for example as skin protection cream, cleansing milk, sunscreen lotion, nourishing cream, day cream or night cream etc. In some instances, it is possible and advantageous to use the compositions according to the invention as a base for pharmaceutical formulations.

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Those cosmetic and dermatological preparations which exist in the form of a sunscreen are also favourable. In addition to the active ingredient used according to the invention, these also preferably comprise at least one UVA filter substance and/or at least one UVB filter substance and/or at least one inorganic pigment.

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However, it is also advantageous for the purposes of the present invention to provide cosmetic and dermatological preparations whose main purpose is not protection against sunlight, but which nevertheless still comprise anti-UV substances. Thus, for example, UV-A and UV-B filter substances are usually incorporated into day creams.

Preparations according to the invention can advantageously comprise substances which absorb UV radiation in the UVB region, the total amount of filter substances being, for example, from 0.1% by weight to 30% by weight, preferably from 0.5 to 10% by weight, in particular from 1 to 6% by weight, based on the total weight of the preparations.

The UVB filters can be oil-soluble or water-soluble. Examples of oil-soluble substances which may be mentioned are:

- 30 3-benzylidenecamphor and derivatives thereof, e.g. 3-(4-methylbenzylidene)camphor,
 - 4-aminobenzoic acid derivatives, preferably 2-ethylhexyl 4-(dimethylamino)benzoate, amyl 4-(dimethylamino)benzoate;
- esters of cinnamic acid, preferably 2-ethylhexyl 4-methoxycinnamate, isopentyl 4-methoxycinnamate;
 - esters of salicylic acid, preferably 2-ethylhexyl salicylate, 4-isopropylbenzyl salicylate, homomenthyl salicylate;

- derivatives of benzophenone, preferably 2-hydroxy-4-methoxybenzophenone,
 2-hydroxy-4-methoxy-4'-methylbenzophenone,
 2,2'-dihydroxy-4-methoxybenzophenone;
- esters of benzalmalonic acid, preferably di(2-ethylhexyl) 4-methoxybenzalmalonate;
- 2,4,6-trianilino(p-carbo-2'-ethyl-1'-hexyloxy)-1,3,5-triazine

Advantageous water-soluble substances are:

- 2-phenylbenzimidazole-5-sulphonic acid and salts thereof, for example sodium,
 potassium or triethanolammonium salts,
 - sulphonic acid derivatives of benzophenones, preferably 2-hydroxy-4-methoxybenzophenone-5-sulphonic acid and its salts;
 - sulphonic acid derivatives of 3-benzylidenecamphor, such as, for example, 4- (2-oxo-3-bornylidenemethyl)benzenesulphonic acid, 2-methyl-5-(2-oxo-3-bornylidenemethyl)sulphonic acid and its salts.

The list of given UVB filters which can be used according to the invention is of course not intended to be limiting.

It can also be advantageous to use UVA filters which are usually present in cosmetic and/or dermatological preparations in the preparations according to the invention. Such filter substances are preferably derivatives of dibenzoylmethane, in particular 1-(4'-tert-butylphenyl)-3-(4'-methoxyphenyl)propane-1,3-dione and 1-phenyl-3-(4'-isopropylphenyl)propane-1,3-dione. Preparations which contain these combinations are also provided by the invention. The same amounts of UVA filter substances which were given for UVB filter substances can be used.

For the purposes of the present invention, cosmetic and/or dermatological preparations can also comprise inorganic pigments which are usually used in cosmetics for protecting the skin against UV radiation. These are oxides of titanium, zinc, iron, zirconium, silicon, manganese, aluminium, cerium and mixtures thereof, and modifications in which the oxides are the active agents. Particular preference is given to pigments based on titanium dioxide. The quantities given for the above combinations can be used.

The cosmetic and dermatological preparations according to the invention can comprise cosmetic active ingredients, auxiliaries and/or additives as are usually

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used in such preparations, for example antioxidants, preservatives, bactericides, perfumes, antifoams, dyes, pigments which have a colouring effect, thickeners, surfactants, emulsifiers, softeners, moisturizers and/or humectants, fats, oils, waxes or other customary constituents of a cosmetic or dermatological formulation, such as alcohols, polyols, polymers, foam stabilizers, electrolytes, organic solvents or silicone derivatives.

For the purposes of the present invention, it is advantageous to add other antiirritative or antiinflammatory active ingredients to the preparations, in particular batyl alcohol (α -octadecyl glyceryl ether), selachyl alcohol (α -octadecenyl glyceryl ether), chimyl alcohol (α -hexadecyl glyceryl ether), bisabolol and/or panthenol.

It is likewise advantageous to add conventional antioxidants to the preparations for the purposes of the present invention. According to the invention, favourable antioxidants used can be any antioxidants which are suitable or customary for cosmetic and/or dermatological applications.

The antioxidants are advantageously selected from the group consisting of amino acids (for example glycine, histidine, tyrosine, tryptophan) and derivatives thereof. imidazoles (e.g. urocanic acid) and derivatives thereof, peptides such as D.Lcarnosine, D-carnosine, L-carnosine and derivatives thereof (e.g. anserine), carotenoids, carotenes (e.g. α-carotene, β-carotene, ψ-lycopene) and derivatives thereof, lipoic acid and derivatives thereof (e.g. dihydrolipoic acid), aurothioglucose, propylthiouracil and other thiols (e.g. thioredoxin, glutathione, cysteine, cystine, cystamine and the glycosyl, N-acetyl, methyl, ethyl, propyl, amyl, butyl and lauryl, palmitoyl, oleyl, γ-linoleyl, cholesteryl and glyceryl esters thereof) and salts thereof. dilauryl thiodipropionate, distearyl thiodipropionate, thiodipropionic acid and derivatives thereof (esters, ethers, peptides, lipids, nucleotides, nucleosides and salts) and sulphoximine compounds (e.g. buthionine sulphoximines, homocysteine sulphoximine, buthionine sulphones, penta-, hexa-, heptathionine sulphoximine) in very small tolerated doses (e.g. pmol to µmol/kg), also (metal) chelating agents (e.g. α -hydroxy fatty acids, palmitic acid, phytic acid, lactoferrin), α -hydroxy acids (e.g. citric acid, lactic acid, malic acid), humic acid, bile acid, bile extracts, bilirubin, biliverdin, EDTA, EGTA and derivatives thereof, unsaturated fatty acids and derivatives thereof (e.g. y-linolenic acid, linoleic acid, oleic acid), folic acid and derivatives thereof, furfurylidene sorbitol and derivatives thereof, ubiquinone and 5

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ubiquinol and derivatives thereof, vitamin C and derivatives (e.g. ascorbyl palmitate, Mg ascorbyl phosphate, ascorbyl acetate), tocopherols and derivatives (e.g. vitamin E acetate), vitamin A and derivatives (vitamin A palmitate), and coniferylbenzoate of benzoin, rutinic acid and derivatives thereof, α-glucosylrutin, ferulic acid, furfurylideneglucitol, carnosine, butylhydroxytoluene, butylhydroxyanisole, nordihydroguaiac resin acid, nordihydroguaiaretic acid, trihydroxybutyrophenone, uric acid and derivatives thereof, mannose and derivatives thereof, zinc and derivatives thereof (e.g. ZnO, ZnSO₄), selenium and derivatives thereof (e.g. selenium methionine), stilbenes and derivatives thereof (e.g. stilbene oxide, transstilbene oxide) and the derivatives (salts, esters, ethers, sugars, nucleotides, nucleosides, peptides and lipids) of said active ingredients which are suitable according to the invention.

The amount of antioxidants (one or more compounds) in the preparations is preferably from 0.001 to 30% by weight, particularly preferably 0.05-20% by weight, in particular 1-10% by weight, based on the total weight of the preparation.

If vitamin E and/or derivatives thereof are used as the antioxidant(s), it is advantageous to choose their respective concentrations from the range 0.001 - 10% by weight, based on the total weight of the formulation.

For the purposes of the present invention, suitable propellants for cosmetic and/or dermatological preparations which can be sprayed from aerosol containers are the customary known, readily volatile, liquefied propellants, for example hydrocarbons (propane, butane, isobutane), which can be used on their own or in mixtures with one another. The use of compressed air is also advantageous.

The person skilled in the art obviously knows that there are propellent gases which are non-toxic per se which would in principle be suitable for realizing the present invention in the form of aerosol preparations but which, because of their harmful effect on the environment or other accompanying circumstances, should nevertheless be avoided, in particular fluorinated hydrocarbons and chlorofluorocarbons (CFCs).

For the purposes of the present invention, if the cosmetic or dermatological preparations are in the form of a lotion which is rinsed out and is used, for example, before or after bleaching, before or after shampooing, between two

shampooing steps, or before or after permanent wave treatment, the preparations are, for example, aqueous or aqueous-alcoholic solutions, which optionally comprise surface-active substances, preferably nonionic or cationic surface-active substances, the concentration of which can be between 0.1 and 10% by weight, preferably between 0.2 and 5% by weight. These cosmetic and/or dermatological preparations can also be aerosols with the auxiliaries usually used for this purpose.

For the purposes of the present invention, a cosmetic preparation in the form of a lotion which is not rinsed out, in particular a lotion for setting the hair, a lotion which is used for blow-drying the hair, a styling and treatment lotion, is generally an aqueous, alcoholic or aqueous-alcoholic solution and comprises at least one cationic, anionic, nonionic or amphoteric polymer, or else mixtures thereof, as well as the active ingredient combinations according to the invention. The amount of polymers used is, for example, between 0.1 and 10% by weight, preferably between 0.1 and 3% by weight.

For the purposes of the present invention, cosmetic preparations for the treatment and care of the hair which comprise the active ingredient used according to the invention can be in the form of emulsions which are of the nonionic or anionic type. Nonionic emulsions comprise, as well as water, oils or fatty alcohols, which can also be polyethoxylated or polypropoxylated, for example, or also mixtures of the two organic components. If appropriate, these emulsions comprise cationic surface-active substances.

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For the purposes of the present invention, cosmetic preparations for the treatment and care of the hair can be in the form of gels which, in addition to an effective content of active ingredient according to the invention and solvents which are usually used therefor, preferably water, also contain organic thickeners, e.g. gum arabic, xanthan gum, sodium alginate, cellulose derivatives, preferably methylcellulose, hydroxymethylcellulose, hydroxyethylcellulose, hydroxypropylcellulose, hydroxypropylmethylcellulose or inorganic thickeners, e.g. aluminium silicates, such as, for example, bentonites, or a mixture of polyethylene glycol and polyethylene glycol stearate or distearate. The thickener is present in the gel, for example, in an amount between 0.1 and 30% by weight, preferably between 0.5 and 15% by weight.

The examples below serve to illustrate the present invention.

Example 1

	% by weight
Paraffin oil	5.00
Ethanol	3.00
Glycerol	3.00
Glycerol stearate citrate	2.00
Cetylstearyl alcohol	2.00
Dimethicone	1.00
Carbomer	0.10
Wool wax alcohol	0.10
Tocopheryl acetate	0.10
Dyes, perfume, preservative	q.s.
Water	ad 100.00

Example 2

	% by weight
Paraffin oil	40.00
Ethanol	3.00
Glycerol	3.00
Glycerol stearate citrate	2.00
Cetylstearyl alcohol	2.00
Dimethicone	1.00
Carbomer	0.10
Wool wax alcohol	0.10
Tocopheryl acetate	0.10
Dyes, perfume, preservative	q.s.
Water	ad 100.00

	% by weight
Wool wax alcohol	0.10
Glycerol stearate citrate	2.00
Paraffin oil	9.00
Cetylstearyl alcohol	2.00

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Carbomer	0.10
Dimethicone	1.00
Glycerol	3.00
Ethanol	3.00
Tocopheryl acetate	0.10
Dyes, perfume, preservative	q.s.
Water	ad 100.00
Example 4	

;	% by weight
Wool wax alcohol	0.10
Glycerol stearate citrate	2.00
Paraffin oil	14.00
Cetylstearyl alcohol	2.00
Carbomer	0.10
Dimethicone	1.00
Glycerol-	3.00
Ethanol	3.00
Tocopheryl acetate	0.10
Dyes, perfume, preservative	q.s.
Water	ad 100.00

	% by weight
Wool wax alcohol	0.10
Glycerol stearate citrate	2.00
Paraffin oil	19.00
Cetylstearyl alcohol	2.00
Carbomer	0.10
Dimethicone	1.00
Glycerol	3.00
Ethanol	3.00
Tocopheryl acetate	0.10
Dyes, perfume, preservative	q.s.
Water	ad 100.00

Example 6

	% by weight
Wool wax alcohol	0.10
Glyceryl stearate citrate	2.00
Paraffin oil	24.00
Cetylstearyl alcohol	2.00
Carbomer	0.10
Dimethicone	1.00
Glycerol	3.00
Ethanol	3.00
Tocopheryl acetate	0.10
Dyes, perfume, preservative	· q.s.
Water	ad 100.00

Example 7

	% by weight
Ethanol	3.00
Glycerol	3.00
Isohexadecane	2.00
Caprylic/capric triglyceride	2.00
Paraffin oil	2.00
Glyceryl stearate citrate	1.80
Cetylstearyl alcohol	1.80
Dimethicone	1.00
Carbomer	0.10
Wool wax alcohol	0.10
Tocopheryl acetate	0.10
Dyes, perfume, preservative	q.s.
Water	ad 100.00

	% by weight
Glycerol	5.00
Caprylic/capric triglyceride	5.00
Ethanol	3.00
Paraffin oil	3.00

Microcrystalline wax, paraffin oil	3.00
Cyclomethicone	2.00
Dimethicone	2.00
Octyldodecanol	2.00
Glyceryl stearate citrate	1.80
Cetylstearyl alcohol	1.80
Carbomer	0.20
Wool wax alcohol	0.10
Bisabolol	0.10
Dyes, perfume, preservative	q.s.
Water	ad 100.00
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	% by weight
Caprylic/capric triglyceride	3.50
Glycerol	3.00
Glyceryl stearate citrate	2.40
Cetylstearyl alcohol	2.40
Isohexadecane	2.00
Paraffin oil	2.00
Octyl methoxycinnamate	1.30
Aluminium starch octenylsuccinate	1.00
Dimethicone	1.00
Extract from Glycyrrhiza glabra	0.50
NaEDTA	0.50
Butylmethoxydibenzoylmethane	0.40
Carbomer	0.20
Wool wax alcohol	0.10
Tocopheryl acetate	0.10
Dyes, perfume, preservative	q.s.
Water	ad 100.00